PCT WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6 : C07D 239/95, A61K 31/505 — A3

(11) International Publication Number: **WO 97/20823**

(43) International Publication Date: 12 June 1997 (12.06.97)

(21) International Application Number: PCT/EP96/05067

(22) International Filing Date: 18 November 1996 (18.11.96)

(30) Priority Data:
08/566,378 1 December 1995 (01.12.95) US

(60) Parent Application or Grant
(63) Related by Continuation
US 08/566,378 (CIP)
Filed on 1 December 1995 (01.12.95)

(71) Applicant *(for all designated States except US)*: NOVARTIS AG [CH/CH]; Schwarzwaldallee 215, CH-4058 Basle (CH).

(72) Inventors; and
(75) Inventors/Applicants *(for US only)*: RÜEGER, Heinrich [CH/CH]; Alemannenweg 6, CH-4112 Flüh (CH). SCHMIDLIN, Tibur [CH/CH]; Friedensgasse 36, CH-4056 Basle (CH). RIGOLLIER, Pascal [FR/FR]; 2, rue Sainte-Catherine, F-68100 Mulhouse (FR). YAMAGUCHI, Yasuchika [JP/CH]; Tellstrasse 44/2, CH-4053 Basle (CH). TINTELNOT-BLOMLEY, Marina [DE/DE]; Röttlerstrasse 1, D-79689 Maulburg (DE). SCHILLING, Walter [CH/CH]; Im Muspenacker, CH-4204 Himmelried (CH). CRISCIONE, Leoluca [IT/CH]; Kirchstrasse 15, CH-4313 Möhlin (CH). MAH, Robert [CA/CH]; Baslerstrasse 258, CH-4123 Allschwil (CH).

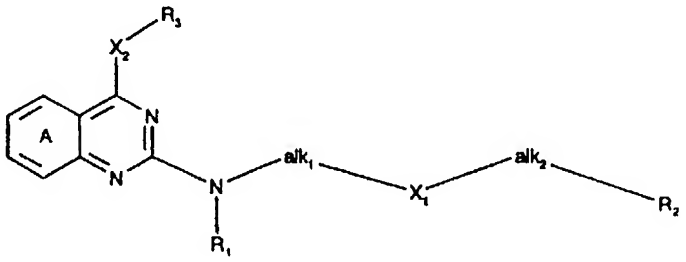
(74) Common Representative: NOVARTIS AG; Patent and Trademark Dept., Klybeckstrasse 141, CH-4002 Basle (CH).

(81) Designated States: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, ARIPO patent (KE, LS, MW, SD, SZ, UG), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG).

Published
With international search report.
Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.

(88) Date of publication of the international search report:
17 July 1997 (17.07.97)

(54) Title: 2-AMINO QUINAZOLINE DERIVATIVES AS NPY RECEPTOR ANTAGONISTS



(I)

(57) Abstract

The invention relates to a compound of formula (I) in which the variables are as defined and/or a salt or a tautomer thereof; and relates to a method of treatment of disorders or diseases associated with NPY receptor subtype Y5, to pharmaceutical compositions comprising a compound of formula (I) or a pharmaceutically acceptable salt thereof and to the manufacture of the compounds of formula (I) or a salt thereof.

20329
#16

FOR THE PURPOSES OF INFORMATION ONLY

Codes used to identify States party to the PCT on the front pages of pamphlets publishing international applications under the PCT.

AM	Armenia	GB	United Kingdom	MW	Malawi
AT	Austria	GE	Georgia	MX	Mexico
AU	Australia	GN	Guinea	NE	Niger
BB	Barbados	GR	Greece	NL	Netherlands
BE	Belgium	HU	Hungary	NO	Norway
BF	Burkina Faso	IE	Ireland	NZ	New Zealand
BG	Bulgaria	IT	Italy	PL	Poland
BJ	Benin	JP	Japan	PT	Portugal
BR	Brazil	KE	Kenya	RO	Romania
BY	Belarus	KG	Kyrgystan	RU	Russian Federation
CA	Canada	KP	Democratic People's Republic of Korea	SD	Sudan
CF	Central African Republic			SE	Sweden
CG	Congo	KR	Republic of Korea	SG	Singapore
CH	Switzerland	KZ	Kazakhstan	SI	Slovenia
CI	Côte d'Ivoire	LI	Liechtenstein	SK	Slovakia
CM	Cameroon	LK	Sri Lanka	SN	Senegal
CN	China	LR	Liberia	SZ	Swaziland
CS	Czechoslovakia	LT	Lithuania	TD	Chad
CZ	Czech Republic	LU	Luxembourg	TG	Togo
DE	Germany	LV	Latvia	TJ	Tajikistan
DK	Denmark	MC	Monaco	TT	Trinidad and Tobago
EE	Estonia	MD	Republic of Moldova	UA	Ukraine
ES	Spain	MG	Madagascar	UG	Uganda
FI	Finland	ML	Mali	US	United States of America
FR	France	MN	Mongolia	UZ	Uzbekistan
GA	Gabon	MR	Mauritania	VN	Viet Nam

INTERNATIONAL SEARCH REPORT

Internat. . Application No
PCT/EP 96/05067

A. CLASSIFICATION OF SUBJECT MATTER
IPC 6 C07D239/95 A61K31/505

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 6 C07D A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	US 4 287 341 A (HESS ET. AL.) 1 September 1981 see example 18 ---	1-5
X	WO 92 07844 A (PFIZER INC.) 14 May 1992 see claims; example 85 ---	1-3
X	JOURNAL OF MEDICINAL CHEMISTRY, vol. 36, no. 6, June 1993, WASHINGTON DC, US, pages 690-8, XP000652149 D. GIARDINA ET. AL.: "Structure-Activity Relationships in Prazosin-Related Compounds. 2. Role of the Piperazine Ring on alpha-Blocking Activity. " see table 1. --- -/--	1-5

[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
6 May 1997	30. 05. 97

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+ 31-70) 340-2040, Tx. 31 651 epo nl, Fax (+ 31-70) 340-3016	Helps, I

Form PCT/ISA/210 (second sheet) (July 1992)

INTERNATIONAL SEARCH REPORT

Internati. Application No
PCT/EP 96/05067

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	JOURNAL OF MEDICINAL CHEMISTRY, vol. 24, no. 2, February 1981, WASHINGTON DC, US, pages 127-40, XP000653661 E. F. ELSLAGER ET. AL.: "Synthesis and Antimalarial Effects of N2-Aryl-N4-[(dialkylamino)alkyl]- and N4-Aryl-N2-[(dialkylamino)alkyl]- 2,4-quinazolinediamines." see tables I-IV	1-5
A	EP 0 614 911 A (ELF SANOFI) 14 September 1994 see whole document	1-12
A	EP 0 448 765 A (HEUMANN PHARMA GMBH) 2 October 1991 see whole document	1-12
P,A	WO 96 12489 A (ELI LILLY & CO.) 2 May 1996 see claims; examples	1-12

1

INTERNATIONAL SEARCH REPORT

International application No.
PCT/EP 96/05067

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. [X] Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

Remark: Although claim(s) 10
is(are) directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.

2. [X] Claims Nos.: 1-7,9-12
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:

Due to the very broad scope of the claims and the inclusion of vague definitions such as "heteroaryl", the search has been limited to the scope covered by the examples (Guidelines B-III, 3.7).

3. [ ] Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. [ ] As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.

2. [ ] As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. [ ] As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:

4. [ ] No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

[ ] The additional search fees were accompanied by the applicant's protest.

[ ] No protest accompanied the payment of additional search fees.

...NATIONAL SEARCH REPORT

Information on patent family members

Internat... Application No
PCT/EP 96/05067

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US 4287341 A	01-09-81	AR 227650 A	30-11-82
		AU 520344 B	28-01-82
		AU 6389680 A	07-05-81
		CA 1146546 A	17-05-83
		EP 0028473 A	13-05-81
		US 4377581 A	22-03-83
		US 4351940 A	28-09-82
		JP 1438808 C	19-05-88
		JP 56079676 A	30-06-81
		JP 62043996 B	17-09-87
WO 9207844 A	14-05-92	AT 124694 T	15-07-95
		AU 644035 B	02-12-93
		AU 9059291 A	26-05-92
		BR 9107070 A	31-05-94
		CA 2095213 A	07-05-92
		CN 1061411 A	27-05-92
		DE 9190155 U	07-10-93
		DE 69111077 D	10-08-95
		DE 69111077 T	02-11-95
		EP 0556310 A	25-08-93
		ES 2074867 T	16-09-95
		FI 932032 A	05-05-93
		HU 64533 A	28-01-94
		NZ 240476 A	27-04-94
		SK 400992 A	09-08-95
		US 5444062 A	22-08-95
		ZA 9108767 A	05-05-93
EP 614911 A	14-09-94	FR 2701480 A	19-08-94
		AU 673398 B	07-11-96
		AU 5516594 A	18-08-94
		CA 2115631 A	16-08-94
		CN 1104634 A	05-07-95
		FI 940680 A	16-08-94
		HU 66960 A	30-01-95
		JP 6293794 A	21-10-94
		NO 940503 A	16-08-94
		NZ 250878 A	27-02-96
		US 5506258 A	09-04-96

INTERNATIONAL SEARCH REPORT

Information on patent family members

Internatio Application No
PCT/EP 96/05067

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
EP 614911 A		ZA 9401029 A	15-08-95
EP 448765 A	02-10-91	AT 109979 T	15-09-94
		AU 637882 B	10-06-93
		CA 2037433 A	01-10-91
		DE 59006842 D	22-09-94
		IE 65252 B	18-10-95
		IL 97424 A	26-05-95
		JP 7089939 A	04-04-95
WO 9612489 A	02-05-96	AU 3953795 A	15-05-96
		EP 0716854 A	19-06-96

Ala Cys Val Leu Pro Ala Pro Ala Gly Pro Ser Gln Gly Lys His Leu
305 310 315 320

Ala Val Pro Glu Asn Pro Ala Ser Val Arg Ser Gln Leu Ser Pro Ser
325 330 335

Ser Lys Val Ile Pro Gly Val Pro Ile Cys Phe Glu Val Lys Pro Glu
340 345 350

Glu Ser Ser Asp Ala His Glu Met Arg Val Lys Arg Ser Ile Thr Arg
355 360 365

Ile Lys Lys Arg Ser Arg Ser Val Phe Tyr Arg Leu Thr Ile Leu Ile
370 375 380

Leu Val Phe Ala Val Ser Trp Met Pro Leu His Val Phe His Val Val
385 390 395 400

Thr Asp Phe Asn Asp Asn Leu Ile Ser Asn Arg His Phe Lys Leu Val
405 410 415

Tyr Cys Ile Cys His Leu Leu Gly Met Met Ser Cys Cys Leu Asn Pro
420 425 430

Ile Leu Tyr Gly Phe Leu Asn Asn Gly Ile Lys Ala Asp Leu Arg Ala
435 440 445

Leu Ile His Cys Leu His Met Ser *
450 455

(3) INFORMATION FOR SEQ ID NO:3:

(i) SEQUENCE CHARACTERISTICS:

(A) LENGTH: 1457 base pairs
(B) TYPE: nucleic acid
(C) STRANDEDNESS: single
(D) TOPOLOGY: linear

(ii) MOLECULE TYPE: cDNA

(iii) HYPOTHETICAL: NO

(iv) ANTI-SENSE: NO

(ix) FEATURE:
(A) NAME/KEY: CDS
(B) LOCATION: 61..1432

(xi) SEQUENCE DESCRIPTION: SEQ ID NO:3:

GTTTCCCTCT GAATAGATTA ATTTAAAGTA GTCATGTAAT GTTTTTTTGG TTGCTGACAA 60

ATG TCT TTT TAT TCC AAG CAG GAC TAT AAT ATG GAT TTA GAG CTC GAC 108
Met Ser Phe Tyr Ser Lys Gln Asp Tyr Asn Met Asp Leu Glu Leu Asp
1 5 10 15

GAG TAT TAT AAC AAG ACA CTT GCC ACA GAG AAT AAT ACT GCT GCC ACT 156
Glu Tyr Tyr Asn Lys Thr Leu Ala Thr Glu Asn Asn Thr Ala Ala Thr
20 25 30

CGG AAT TCT GAT TTC CCA GTC TGG GAT GAC TAT AAA AGC AGT GTA GAT 204
Arg Asn Ser Asp Phe Pro Val Trp Asp Asp Tyr Lys Ser Ser Val Asp
35 40 45

GAC TTA CAG TAT TTT CTG ATT GGG CTC TAT ACA TTT GTA AGT CTT CTT 252
Asp Leu Gln Tyr Phe Leu Ile Gly Leu Tyr Thr Phe Val Ser Leu Leu
50 55 60

GGC TTT ATG GGG AAT CTA CTT ATT TTA ATG GCT CTC ATG AAA AAG CGT 300
Gly Phe Met Gly Asn Leu Leu Ile Leu Met Ala Leu Met Lys Lys Arg
65 70 75 80

AAT CAG AAG ACT ACG GTA AAC TTC CTC ATA GGC AAT CTG GCC TTT TCT 348
Asn Gln Lys Thr Thr Val Asn Phe Leu Ile Gly Asn Leu Ala Phe Ser
85 90 95

GAT ATC TTG GTT GTG CTG TTT TGC TCA CCT TTC ACA CTG ACG TCT GTC 396
Asp Ile Leu Val Val Leu Phe Cys Ser Pro Phe Thr Leu Thr Ser Val
100 105 110

TTG CTG GAT CAG TGG ATG TTT GGC AAA GTC ATG TGC CAT ATT ATG CCT 444
Leu Leu Asp Gln Trp Met Phe Gly Lys Val Met Cys His Ile Met Pro
115 120 125

TTT CTT CAA TGT GTG TCA GTT TTG GTT TCA ACT TTA ATT TTA ATA TCA 492
Phe Leu Gln Cys Val Ser Val Leu Val Ser Thr Leu Ile Leu Ile Ser
130 135 140

ATT GCC ATT GTC AGG TAT CAT ATG ATA AAA CAT CCC ATA TCT AAT AAT 540
Ile Ala Ile Val Arg Tyr His Met Ile Lys His Pro Ile Ser Asn Asn
145 150 155 160

TTA ACA GCA AAC CAT GGC TAC TTT CTG ATA GCT ACT GTC TGG ACA CTA 588
Leu Thr Ala Asn His Gly Tyr Phe Leu Ile Ala Thr Val Trp Thr Leu
165 170 175

GGT TTT GCC ATC TGT TCT CCC CTT CCA GTG TTT CAC AGT CTT GTG GAA 636
Gly Phe Ala Ile Cys Ser Pro Leu Pro Val Phe His Ser Leu Val Glu
180 185 190

CTT CAA GAA ACA TTT GGT TCA GCA TTG CTG AGC AGC AGG TAT TTA TGT 684
Leu Gln Glu Thr Phe Gly Ser Ala Leu Leu Ser Ser Arg Tyr Leu Cys
195 200 205

GTT GAG TCA TGG CCA TCT GAT TCA TAC AGA ATT GCC TTT ACT ATC TCT 732
Val Glu Ser Trp Pro Ser Asp Ser Tyr Arg Ile Ala Phe Thr Ile Ser
210 215 220

TTA TTG CTA GTT CAG TAT ATT CTG CCC TTA GTT TGT CTT ACT GTA AGT 780
Leu Leu Leu Val Gln Tyr Ile Leu Pro Leu Val Cys Leu Thr Val Ser
225 230 235 240

CAT ACA AGT GTC TGC AGA AGT ATA AGC TGT GGA TTG TCC AAC AAA GAA 828
His Thr Ser Val Cys Arg Ser Ile Ser Cys Gly Leu Ser Asn Lys Glu
245 250 255

AAC AGA CTT GAA GAA AAT GAG ATG ATC AAC TTA ACT CTT CAT CCA TCC 876
Asn Arg Leu Glu Glu Asn Glu Met Ile Asn Leu Thr Leu His Pro Ser
260 265 270

AAA AAG AGT GGG CCT CAG GTG AAA CTC TCT GGC AGC CAT AAA TGG AGT
924
Lys Lys Ser Gly Pro Gln Val Lys Leu Ser Gly Ser His Lys Trp Ser
275 280 285

TAT TCA TTC ATC AAA AAA CAC AGA AGA AGA TAT AGC AAG AAG ACA GCA 972
Tyr Ser Phe Ile Lys Lys His Arg Arg Arg Tyr Ser Lys Lys Thr Ala
290 295 300

TGT GTG TTA CCT GCT CCA GAA AGA CCT TCT CAA GAG AAC CAC TCC AGA
1020
Cys Val Leu Pro Ala Pro Glu Arg Pro Ser Gln Glu Asn His Ser Arg
305 310 315 320

ATA CTT CCA GAA AAC TTT GGC TCT GTA AGA AGT CAG CTC TCT TCA TCC 1068
Ile Leu Pro Glu Asn Phe Gly Ser Val Arg Ser Gln Leu Ser Ser Ser
325 330 335

AGT AAG TTC ATA CCA GGG GTC CCC ACT TGC TTT GAG ATA AAA CCT GAA
1116
Ser Lys Phe Ile Pro Gly Val Pro Thr Cys Phe Glu Ile Lys Pro Glu
340 345 350

GAA AAT TCA GAT GTT CAT GAA TTG AGA GTA AAA CGT TCT GTT ACA AGA 1164
Glu Asn Ser Asp Val His Glu Leu Arg Val Lys Arg Ser Val Thr Arg
355 360 365

ATA AAA AAG AGA TCT CGA AGT GTT TTC TAC AGA CTG ACC ATA CTG ATA 1212
Ile Lys Lys Arg Ser Arg Ser Val Phe Tyr Arg Leu Thr Ile Leu Ile
370 375 380

TTA GTA TTT GCT GTT AGT TGG ATG CCA CTA CAC CTT TTC CAT GTG GTA 1260
Leu Val Phe Ala Val Ser Trp Met Pro Leu His Leu Phe His Val Val
385 390 395 400

ACT GAT TTT AAT GAC AAT CTT ATT TCA AAT AGG CAT TTC AAG TTG GTG 1308
Thr Asp Phe Asn Asp Asn Leu Ile Ser Asn Arg His Phe Lys Leu Val
405 410 415

TAT TGC ATT TGT CAT TTG TTG GGC ATG ATG TCC TGT TGT CTT AAT CCA 1356
Tyr Cys Ile Cys His Leu Leu Gly Met Met Ser Cys Cys Leu Asn Pro
420 425 430

ATT CTA TAT GGG TTT CTT AAT AAT GGG ATT AAA GCT GAT TTA GTG TCC 1404
Ile Leu Tyr Gly Phe Leu Asn Asn Gly Ile Lys Ala Asp Leu Val Ser
435 440 445

CTT ATA CAC TGT CTT CAT ATG TAA TAA TTCTCACTGT TTACCAAGGA 1452
Leu Ile His Cys Leu His Met * *
450 455

AAGAAC 1457

(4) INFORMATION FOR SEQ ID NO:4:

(i) SEQUENCE CHARACTERISTICS:
(A) LENGTH: 457 amino acids
(B) TYPE: amino acid
(D) TOPOLOGY: linear

(ii) MOLECULE TYPE: protein

(xi) SEQUENCE DESCRIPTION: SEQ ID NO:4:

Met Ser Phe Tyr Ser Lys Gln Asp Tyr Asn Met Asp Leu Glu Leu Asp
1 5 10 15

Glu Tyr Tyr Asn Lys Thr Leu Ala Thr Glu Asn Asn Thr Ala Ala Thr
20 25 30

Arg Asn Ser Asp Phe Pro Val Trp Asp Asp Tyr Lys Ser Ser Val Asp
35 40 45

Asp Leu Gln Tyr Phe Leu Ile Gly Leu Tyr Thr Phe Val Ser Leu Leu
50 55 60

Gly Phe Met Gly Asn Leu Leu Ile Leu Met Ala Leu Met Lys Lys Arg
65 70 75 80

Asn Gln Lys Thr Thr Val Asn Phe Leu Ile Gly Asn Leu Ala Phe Ser
85 90 95

Asp Ile Leu Val Val Leu Phe Cys Ser Pro Phe Thr Leu Thr Ser Val
100 105 110

Leu Leu Asp Gln Trp Met Phe Gly Lys Val Met Cys His Ile Met Pro
115 120 125

Phe Leu Gln Cys Val Ser Val Leu Val Ser Thr Leu Ile Leu Ile Ser
130 135 140

Ile Ala Ile Val Arg Tyr His Met Ile Lys His Pro Ile Ser Asn Asn
145 150 155 160

Leu Thr Ala Asn His Gly Tyr Phe Leu Ile Ala Thr Val Trp Thr Leu
165 170 175

Gly Phe Ala Ile Cys Ser Pro Leu Pro Val Phe His Ser Leu Val Glu
180 185 190

Leu Gln Glu Thr Phe Gly Ser Ala Leu Leu Ser Ser Arg Tyr Leu Cys
195 200 205

Val Glu Ser Trp Pro Ser Asp Ser Tyr Arg Ile Ala Phe Thr Ile Ser
210 215 220

Leu Leu Leu Val Gln Tyr Ile Leu Pro Leu Val Cys Leu Thr Val Ser
225 230 235 240

His Thr Ser Val Cys Arg Ser Ile Ser Cys Gly Leu Ser Asn Lys Glu
245 250 255

Asn Arg Leu Glu Glu Asn Glu Met Ile Asn Leu Thr Leu His Pro Ser
260 265 270

Lys Lys Ser Gly Pro Gln Val Lys Leu Ser Gly Ser His Lys Trp Ser
275 280 285

Tyr Ser Phe Ile Lys Lys His Arg Arg Arg Tyr Ser Lys Lys Thr Ala
290 295 300

Cys Val Leu Pro Ala Pro Glu Arg Pro Ser Gln Glu Asn His Ser Arg
305 310 315 320

Ile Leu Pro Glu Asn Phe Gly Ser Val Arg Ser Gln Leu Ser Ser Ser
325 330 335

Ser Lys Phe Ile Pro Gly Val Pro Thr Cys Phe Glu Ile Lys Pro Glu
340 345 350

Glu Asn Ser Asp Val His Glu Leu Arg Val Lys Arg Ser Val Thr Arg
355 360 365

Ile Lys Lys Arg Ser Arg Ser Val Phe Tyr Arg Leu Thr Ile Leu Ile
370 375 380

Leu Val Phe Ala Val Ser Trp Met Pro Leu His Leu Phe His Val Val
385 390 395 400

Thr Asp Phe Asn Asp Asn Leu Ile Ser Asn Arg His Phe Lys Leu Val
405 410 415

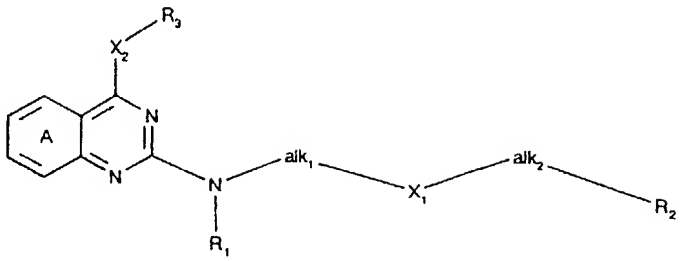
Tyr Cys Ile Cys His Leu Leu Gly Met Met Ser Cys Cys Leu Asn Pro
420 425 430

Ile Leu Tyr Gly Phe Leu Asn Asn Gly Ile Lys Ala Asp Leu Val Ser
435 440 445

Leu Ile His Cys Leu His Met * *
450 455

What is claimed is

1. A compound of formula (I)



in which

alk_1 and alk_2, independently of one another, represent, a single bond or lower alkylene;

R_1 represents hydrogen, lower alkyl, lower alkenyl, lower alkynyl, halo-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, C_3-C_8-cycloalkyl, C_3-C_8-cycloalkyl-lower alkyl, (carbocyclic or heterocyclic) aryl, or (carbocyclic or heterocyclic) aryl-lower alkyl;

R_2 represents

(i) hydrogen, halogen, nitro, cyano, lower alkyl, lower alkenyl, lower alkynyl, C_3-C_8-cycloalkyl, C_3-C_8-cycloalkyl-lower alkyl, (carbocyclic or heterocyclic) aryl, (carbocyclic or heterocyclic) aryl-lower alkyl, or lower alkyl which is substituted by halogen, by hydroxy, by lower alkoxy, by amino, by substituted amino, by carboxy, by lower alkoxycarbonyl, by (carbocyclic or heterocyclic) aryl-lower alkoxycarbonyl, by carbamoyl, or by N-substituted carbamoyl;

(ii) amino or substituted amino;

(iii) hydroxy, lower alkoxy, lower alkenyloxy, lower alkynyloxy, hydroxy-lower alkoxy, lower alkoxy-lower alkoxy, C_3-C_8-cycloalkoxy, C_3-C_8-cycloalkyl-lower alkoxy, (carbocyclic or heterocyclic) aryl-lower alkoxy, lower alkoxycarbonyl-oxy, (carbocyclic or heterocyclic) aryl-lower alkoxycarbonyl-oxy, aminocarbonyl-oxy, or N-substituted aminocarbonyl-oxy;

(iv) carboxy, lower alkoxy-carbonyl, lower alkoxy-lower alkoxy-carbonyl, or (carbocyclic or heterocyclic) aryl-lower alkoxy-carbonyl;

(v) carbamoyl or N-substituted carbamoyl;

(vi) a group selected from -CH(OH)-R, -CO-R, -NR_1-CO-O-R, -NR_1-CO-R, -NR_1-CO-NR_1-R, -NR_1-SO_2-R, -NR_1-SO_2-NR_1-R, -SO_2-R, -SO_2-NR_1-R, or -SO_2-NR_1-CO-R, [R being as defined below and R_1 being as defined above, or the group -N(R)(R_1) represents amino which is di-

substituted by lower alkylene {which may be interrupted by O, $S(O)_n$ or NR_0} or which is di-substituted by lower alkylene which is condensed at two adjacent carbon atoms with a benzene ring]; or

(vii) an element of formula $-X_3(X_4)(X_5)$ wherein, (a) if X_3 is -CH-, X_4 together with X_5 represent a structural element of formula $-X_6-(CO)_p-(CH_2)_o-$, $-(CH_2)_q-X_6-(CO)_p-(CH_2)_r-$, or $-(CH_2)_s-X_6-CO-(CH_2)_t-$; or, (b) if X_3 is -N-, X_4 together with X_5 represent a structural element of formula $-CO-(CH_2)_u-$; [X_6 being $-CH_2-$, $-N(R_1)-$ or -O-; the integer o is 3-5; the integer p is 0 or 1; the integer q is 1or 2; the integer r is 1; the integer s is 1 or 2; the integer t is 1 or 2; the integer u is 3-5; with the proviso that, if the integer p is 0, X_4 is different from $-CH_2-$;];

X_1 represents C_3-C_8-cycloalkylene, C_3-C_8-cycloalkenylene, C_3-C_8-cycloalkylidene, C_3-C_8-cycloalkenylidene, oxo-C_3-C_8-cycloalkylene, oxo-C_3-C_8-cycloalkenylene, oxo-C_3-C_8-cycloalkylidene, or oxo-C_3-C_8-cycloalkenylidene;

X_2 represents -O-, $-S(O)_n-$ or a group of the formula $-N(R_4)-$;

R_3 and R_4 , independently of one another, represent

(i) hydrogen, lower alkyl, lower alkenyl, lower alkynyl, C_3-C_8-cycloalkyl, C_3-C_8-cycloalkyl-lower alkyl, (carbocyclic or heterocyclic) aryl, (carbocyclic or heterocyclic) aryl-lower alkyl; or

(ii) lower alkyl which is substituted by a substituent selected from the group consisting of: halogen, hydroxy, lower alkoxy, hydroxy-lower alkoxy, lower alkoxy-lower alkoxy, amino, substituted amino, carboxy, lower alkoxy-carbonyl, lower alkoxy-lower alkoxy-carbonyl, (carbocyclic or heterocyclic) aryl-lower alkoxy-carbonyl, carbamoyl, N-substituted carbamoyl, and $-S(O)_n-R$;

R_3 and R_4 together represent lower alkylene [which may be interrupted by O, $S(O)_n$, NR_0] or represent lower alkylene which is condensed at two adjacent carbon atoms with a benzene ring;

wherein, in each case, any aryl moiety as well as the benzo ring A is unsubstituted or substituted by one or more substituents selected from the group consisting of

(i) halogen, lower alkyl, lower alkenyl, lower alkynyl, C_3-C_8-cycloalkyl, C_3-C_8-cycloalkyl-lower alkyl, (carbocyclic or heterocyclic) aryl, lower alkoxy, lower alkenyloxy, lower alkynyloxy, oxy-lower alkylene-oxy, hydroxy, lower alkanoyloxy, (carbocyclic or heterocyclic) aryl-lower alkanoyloxy, lower alkanoyl, (carbocyclic or heterocyclic) aryl-lower alkanoyl, (carbocyclic or heterocyclic) aroyl, nitro, cyano;

(ii) lower alkyl which is substituted by a substituent selected from the group consisting of: halogen, hydroxy, lower alkoxy, (carbocyclic or heterocyclic) aryloxy, (carbocyclic or heterocyclic) aryl, amino, substituted amino, carboxy, lower alkoxy-carbonyl, lower alkoxy-

lower alkoxy-carbonyl, (carbocyclic or heterocyclic) aryl-lower alkoxy-carbonyl, carbamoyl, and N-substituted carbamoyl;

(iii) lower alkoxy which is substituted by a substituent selected from the group consisting of: halogen, hydroxy, lower alkoxy, C_3-C_8-cycloalkyl, (carbocyclic or heterocyclic) aryloxy, (carbocyclic or heterocyclic) aryl, amino, substituted amino, carboxy, lower alkoxy-carbonyl, lower alkoxy-lower alkoxy-carbonyl, (carbocyclic or heterocyclic) aryl-lower alkoxy-carbonyl, carbamoyl, and N-substituted carbamoyl;

(iv) amino, substituted amino;

(v) carboxy, lower alkoxy-carbonyl, lower alkoxy-lower alkoxy-carbonyl, (carbocyclic or heterocyclic) aryl-lower alkoxy-carbonyl;

(vi) carbamoyl and N-substituted carbamoyl;

wherein, in each case, the substituted amino group of substituted amino, of N-substituted carbamoyl, and of N-substituted aminocarbonyl-oxy is (i) mono-substituted or, independently of one another, di-substituted by lower alkyl, by C_3-C_8-cycloalkyl, by C_3-C_8-cycloalkyl-lower alkyl, by (carbocyclic or heterocyclic) aryl, by (carbocyclic or heterocyclic) aryl-lower alkyl, or is (ii) di-substituted by lower alkylene [which may be interrupted by O, $S(O)_n$ or NR_0] or is di-substituted by lower alkylene which is condensed at two adjacent carbon atoms with a benzene ring, or is (iii) mono-substituted or, in the second line, independently of one another, di-substituted by -CO-$(O)_v$-R and the integer v is 0 or 1;

wherein, in each case, the integer n is 0, 1 or 2;

wherein, in each case, R_0 represents hydrogen, lower alkyl, lower alkenyl, lower alkinyl, (carbocyclic or heterocyclic) aryl, (carbocyclic or heterocyclic) aryl-lower alkyl, lower alkanoyl, (carbocyclic or heterocyclic) aroyl, -SO_2-R, or lower alkyl which is substituted by halogen, by hydroxy, or by lower alkoxy;

wherein, in each case, R represents hydrogen, lower alkyl, C_3-C_8-cycloalkyl, C_3-C_8-cycloalkyl-lower alkyl, (carbocyclic or heterocyclic) aryl, (carbocyclic or heterocyclic) aryl-lower alkyl, or lower alkyl which is substituted by halogen, by hydroxy, or by lower alkoxy;

or a salt or a tautomer thereof.

2. A compound according to claim 1 of formula (I) or a salt or a tautomer thereof in which

alk_1 and alk_2, independently of one another, represent a single bond or lower alkylene;

R_1 represents hydrogen, lower alkyl, lower alkenyl, halo-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, or (carbocyclic or heterocyclic) aryl-lower alkyl;

R_2 represents

(i) hydrogen, halogen, lower alkyl, (carbocyclic or heterocyclic) aryl, or lower alkyl which is substituted by halogen, by substituted amino, by lower alkoxycarbonyl, by (carbocyclic or heterocyclic) aryl-lower alkoxycarbonyl, or by substituted carbamoyl;

(ii) amino or substituted amino;

(iii) hydroxy, lower alkoxy, lower alkenyloxy, hydroxy-lower alkoxy, lower alkoxy-lower alkoxy, C_3-C_8-cycloalkyl-lower alkoxy, (carbocyclic or heterocyclic) aryl-lower alkoxy, lower alkoxycarbonyl-oxy, (carbocyclic or heterocyclic) aryl-lower alkoxycarbonyl-oxy, or N-substituted aminocarbonyl-oxy;

(iv) carboxy, lower alkoxy-carbonyl, lower alkoxy-lower alkoxy-carbonyl, or (carbocyclic or heterocyclic) aryl-lower alkoxy-carbonyl;

(v) carbamoyl or N-substituted carbamoyl;

(vi) a group selected from -CH(OH)-R, -CO-R, -NR_1-CO-O-R, -NR_1-CO-R, -NR_1-CO-NR_1-R, -NR_1-SO_2-R, -NR_1-SO_2-NR_1-R, -SO_2-R, -SO_2-NR_1-R, or -SO_2-NR_1-CO-R, [R being as defined below and R_1 being as defined above, or the group -N(R)(R_1) represents amino which is di-substituted by lower alkylene {which may be interrupted by O, $S(O)_n$ or NR_0} or which is di-substituted by lower alkylene which is condensed at two adjacent carbon atoms with a benzene ring]; or

(vii) an element of formula -X_3(X_4)(X_5) wherein, (a) if X_3 is -CH-, X_4 together with X_5 represent a structural element of formula -X_6-$(CO)_p$-$(CH_2)_o$-, -$(CH_2)_q$-X_6-$(CO)_p$-$(CH_2)_r$-, or -$(CH_2)_s$-X_6-CO-$(CH_2)_t$-; or, (b) if X_3 is -N-, X_4 together with X_5 represent a structural element of formula -CO-$(CH_2)_u$-; [X_6 being -CH_2-, -N(R_1)- or -O-; the integer o is 3-5; the integer p is 0 or 1; the integer q is 1or 2; the integer r is 1; the integer s is 1 or 2; the integer t is 1 or 2; the integer u is 3-5; with the proviso that, if the integer p is 0, X_4 is different from -CH_2-;];

X_1 represents C_3-C_8-cycloalkylene, C_3-C_8-cycloalkenylene, C_3-C_8-cycloalkylidene, oxo-C_3-C_8-cycloalkylene, oxo-C_3-C_8-cycloalkenylene, or oxo-C_3-C_8-cycloalkylidene;

X_2 represents -O-, -$S(O)_n$- or a group of the formula -N(R_4)-;

R_3 and R_4 , independently of one another, represent

(i) hydrogen, lower alkyl, lower alkenyl, C_3-C_8-cycloalkyl, C_3-C_8-cycloalkyl-lower alkyl, (carbocyclic or heterocyclic) aryl, (carbocyclic or heterocyclic) aryl-lower alkyl; or

(ii) lower alkyl which is substituted by a substituent selected from the group consisting of: halogen, hydroxy, lower alkoxy, hydroxy-lower alkoxy, lower alkoxy-lower alkoxy, amino, substituted amino, lower alkoxy-carbonyl, lower alkoxy-lower alkoxy-carbonyl, (carbocyclic or heterocyclic) aryl-lower alkoxy-carbonyl, substituted carbamoyl, and -$S(O)_n$-R;

R_3 and R_4 together represent lower alkylene [which may be interrupted by O, $S(O)_n$, or NR_0] or represent lower alkylene which is condensed at two adjacent carbon atoms with a benzene ring;

wherein, in each case, any aryl moiety as well as the benzo ring A is unsubstituted or substituted by one or more substituents selected from the group consisting of
(i) halogen, lower alkyl, C_3-C_8-cycloalkyl, C_3-C_8-cycloalkyl-lower alkyl, (carbocyclic or heterocyclic) aryl, lower alkoxy, lower alkenyloxy, oxy-lower alkylene-oxy, hydroxy, lower alkanoyloxy, (carbocyclic or heterocyclic) aryl-lower alkanoyloxy, lower alkanoyl, (carbocyclic or heterocyclic) aryl-lower alkanoyl, nitro, cyano;
(ii) lower alkyl which is substituted by a substituent selected from the group consisting of: halogen, hydroxy, lower alkoxy, amino, substituted amino, carboxy, lower alkoxy-carbonyl, lower alkoxy-lower alkoxy-carbonyl, (carbocyclic or heterocyclic) aryl-lower alkoxy-carbonyl, carbamoyl, and N-substituted carbamoyl;
(iii) lower alkoxy which is substituted by a substituent selected from the group consisting of: halogen, hydroxy, lower alkoxy, C_3-C_8-cycloalkyl, (carbocyclic or heterocyclic) aryloxy, amino, substituted amino, lower alkoxy-carbonyl, lower alkoxy-lower alkoxy-carbonyl, (carbocyclic or heterocyclic) aryl-lower alkoxy-carbonyl, carbamoyl, and N-substituted carbamoyl;
(iv) amino, substituted amino;
(v) carboxy, lower alkoxy-carbonyl, lower alkoxy-lower alkoxy-carbonyl, (carbocyclic or heterocyclic) aryl-lower alkoxy-carbonyl;
(vi) carbamoyl and N-substituted carbamoyl;

wherein, in each case, any aryl moiety is derived and selected from the group consisting of phenyl, biphenylyl, naphthyl, pyrrolyl, pyrazolyl, imidazolyl, triazolyl, tetrazolyl, furyl, thienyl, pyridyl, indolyl, indazolyl, benzofuryl, benzothiophenyl, benzimidazolyl, quinolinyl, isochinolyl, or quinazolinyl;

wherein, in each case, the amino group of substituted amino, of N-substituted carbamoyl, and of N-substituted aminocarbonyl-oxy is (i) mono-substituted or, independently of one another, di-substituted by lower alkyl, by C_3-C_8-cycloalkyl, by C_3-C_8-cycloalkyl-lower alkyl, by (carbocyclic or heterocyclic) aryl, by (carbocyclic or heterocyclic) aryl-lower alkyl, or is (ii) di-substituted by lower alkylene [which may be interrupted by O, $S(O)_n$ or NR_0] or is di-substituted by lower alkylene which is condensed at two adjacent carbon atoms with a benzene ring, or is (iii) mono-substituted or, in the second line, independently of one another, di-substituted by -CO-$(O)_v$-R and the integer v is 0 or 1;

wherein, in each case, the integer n is 0, 1 or 2;

wherein, in each case, R_0 represents hydrogen or lower alkyl;

wherein, in each case, R represents hydrogen, lower alkyl, (carbocyclic or heterocyclic) aryl-lower alkyl, or lower alkyl which is substituted by halogen, by hydroxy, or by lower alkoxy.

3. A compound according to claim 1 of formula (I) or a salt or a tautomer thereof in which alk_1 and alk_2, independently of one another, represent a single bond or lower alkylene;

R_1 represents hydrogen, lower alkyl, lower alkenyl, or lower alkoxy-lower alkyl;

R_2 represents

(i) hydrogen, halogen, lower alkyl, (carbocyclic or heterocyclic) aryl, or lower alkyl which is substituted by halogen, by substituted amino, by lower alkoxycarbonyl, by (carbocyclic or heterocyclic) aryl-lower alkoxycarbonyl, or by substituted carbamoyl;

(ii) amino or substituted amino;

(iii) hydroxy, lower alkoxy, lower alkenyloxy, hydroxy-lower alkoxy, lower alkoxy-lower alkoxy, C_3-C_8-cycloalkyl-lower alkoxy, (carbocyclic or heterocyclic) aryl-lower alkoxy, lower alkoxycarbonyl-oxy, (carbocyclic or heterocyclic) aryl-lower alkoxycarbonyl-oxy, or N-substituted aminocarbonyl-oxy;

(iv) lower alkoxy-carbonyl, lower alkoxy-lower alkoxy-carbonyl, or (carbocyclic or heterocyclic) aryl-lower alkoxy-carbonyl;

(v) N-substituted carbamoyl;

(vi) a group selected from -CH(OH)-R, -CO-R, -NR_1-CO-O-R, -NR_1-CO-R, -NR_1-CO-NR_1-R, -NR_1-SO_2-R, -NR_1-SO_2-NR_1-R, -SO_2-R, -SO_2-NR_1-R, or -SO_2-NR_1-CO-R, [R being as defined below and R_1 being as defined above, or the group -N(R)(R_1) represents amino which is di-substituted by lower alkylene {which may be interrupted by O, $S(O)_n$ or NR_0} or which is di-substituted by lower alkylene which is condensed at two adjacent carbon atoms with a benzene ring]; or

X_1 represents C_3-C_8-cycloalkylene, C_3-C_8-cycloalkenylene, C_3-C_8-cycloalkylidene, oxo-C_3-C_8-cycloalkylene, oxo-C_3-C_8-cycloalkenylene, or oxo-C_3-C_8-cycloalkylidene;

X_2 represents -O-, -$S(O)_n$- or a group of the formula -N(R_4)-;

R_3 and R_4 , independently of one another, represent

(i) hydrogen, lower alkyl, lower alkenyl, C_3-C_8-cycloalkyl, C_3-C_8-cycloalkyl-lower alkyl, (carbocyclic or heterocyclic) aryl, (carbocyclic or heterocyclic) aryl-lower alkyl; or

(ii) lower alkyl which is substituted by a substituent selected from the group consisting of: halogen, hydroxy, lower alkoxy, hydroxy-lower alkoxy, lower alkoxy-lower alkoxy, amino, substituted amino, lower alkoxy-carbonyl, lower alkoxy-lower alkoxy-carbonyl, (carbocyclic or heterocyclic) aryl-lower alkoxy-carbonyl, substituted carbamoyl, and -$S(O)_n$-R;

R_3 and R_4 together represent lower alkylene [which may be interrupted by O, $S(O)_n$, or NR_0] or represent lower alkylene which is condensed at two adjacent carbon atoms with a benzene ring;

wherein, in each case, any aryl moiety as well as the benzo ring A is unsubstituted or substituted by one or more substituents selected from the group consisting of

(i) halogen, lower alkyl, C_3-C_8-cycloalkyl, C_3-C_8-cycloalkyl-lower alkyl, (carbocyclic or heterocyclic) aryl, lower alkoxy, lower alkenyloxy, oxy-lower alkylene-oxy, hydroxy, lower alkanoyloxy, (carbocyclic or heterocyclic) aryl-lower alkanoyloxy, lower alkanoyl, (carbocyclic or heterocyclic) aryl-lower alkanoyl, nitro, cyano;

(ii) lower alkyl which is substituted by a substituent selected from the group consisting of: halogen, hydroxy, lower alkoxy, amino, substituted amino, carboxy, lower alkoxy-carbonyl, lower alkoxy-lower alkoxy-carbonyl, (carbocyclic or heterocyclic) aryl-lower alkoxy-carbonyl, carbamoyl, and N-substituted carbamoyl;

(iii) lower alkoxy which is substituted by a substituent selected from the group consisting of: halogen, hydroxy, lower alkoxy, C_3-C_8-cycloalkyl, (carbocyclic or heterocyclic) aryloxy, amino, substituted amino, lower alkoxy-carbonyl, lower alkoxy-lower alkoxy-carbonyl, (carbocyclic or heterocyclic) aryl-lower alkoxy-carbonyl, carbamoyl, and N-substituted carbamoyl;

(iv) amino, substituted amino;

(v) carboxy, lower alkoxy-carbonyl, lower alkoxy-lower alkoxy-carbonyl, (carbocyclic or heterocyclic) aryl-lower alkoxy-carbonyl;

(vi) carbamoyl and N-substituted carbamoyl;

wherein, in each case, any aryl moiety of (carbocyclic or heterocyclic) aryl, arylene, aroyl, or aryloxy, respectively, is derived from phenyl, naphthyl or pyridyl;

wherein, in each case, the amino group of substituted amino, of N-substituted carbamoyl, and of N-substituted aminocarbonyl-oxy is (i) mono-substituted or, independently of one another, di-substituted by lower alkyl, by C_3-C_8-cycloalkyl, by C_3-C_8-cycloalkyl-lower alkyl, by (carbocyclic or heterocyclic) aryl, by (carbocyclic or heterocyclic) aryl-lower alkyl, or is (ii) di-substituted by lower alkylene [which may be interrupted by O, $S(O)_n$ or NR_0] or is di-substituted by lower alkylene which is condensed at two adjacent

carbon atoms with a benzene ring, or is (iii) mono-substituted or, in the second line, independently of one another, di-substituted by -CO-$(O)_v$-R and the integer v is 0 or 1;

wherein, in each case, the integer n is 0, 1 or 2;

wherein, in each case, R_0 represents hydrogen or lower alkyl;

wherein, in each case, R represents hydrogen, lower alkyl, C_3-C_8-cycloalkyl, (carbocyclic or heterocyclic) aryl-lower alkyl, (carbocyclic or heterocyclic) aryl, or lower alkyl which is substituted by halogen, by hydroxy, or by lower alkoxy.

4. A compound according to claim 1 of formula (I) or a salt or a tautomer thereof in which

alk_1 and alk_2, independently of one another, represent a single bond or lower alkylene;

R_1 represents hydrogen, lower alkyl, lower alkenyl, or lower alkoxy-lower alkyl;

R_2 represents

(i) hydrogen;

(ii) amino, amino which is monosubstituted by lower alkyl or phenyl-lower alkyl or is disubstituted by lower alkyl or by C_2-C_6-alkylene or amino which is monosubstituted by -CO-O-R and R being lower alkyl;

(iii) lower alkoxycarbonyl-oxy or (carbocyclic or heterocyclic) aryl-carbonyl-oxy;

(vi) a group selected from -CH(OH)-R and R being hydrogen, lower alkyl or phenyl-lower alkyl, -CO-R and R being hydrogen or lower alkyl, -NR_1-CO-O-R and R_1 being hydrogen and R being lower alkyl, -NR_1-CO-R and R_1 being hydrogen or lower alkyl and R being lower alkyl, phenyl or lower alkoxy-lower alkyl, -NR_1-SO_2-R and R_1 being hydrogen or lower alkyl and R being lower alkyl, phenyl-lower alkyl, phenyl or naphthyl, -NR_1-SO_2-NR_1-R and R_1 being hydrogen and -$N(R_1)(R)$ being amino disubstituted by lower alkyl or by C_2-C_6-alkylene or being morpholino, piperazino or 4-lower alkyl-piperazino, -SO_2-R and R being lower alkyl or phenyl;

X_1 represents C_3-C_8-cycloalkylene;

X_2 represents -O- and R_3 is hydrogen; or

X_2 represents a group of the formula -$N(R_4)$- and R_4 is hydrogen or lower alkyl; and

R_3 represents

(i) hydrogen, lower alkyl, C_3-C_8-cycloalkyl, C_3-C_8-cycloalkyl-lower alkyl, or phenyl; or

(ii) lower alkyl which is substituted by a substituent selected from the group consisting of: hydroxy, lower alkoxy, hydroxy-lower alkoxy, amino, amino monosubstituted by lower alkoxycarbonyl or disubstituted by lower alkyl, morpholino, piperazino, 4-lower alkyl-piperazino, 4-lower alkoxycarbonyl-piperazino and carbamoyl disubstituted by lower alkyl; or

X_2 and R_3 together represent morpholino or 4-lower alkyl-piperazino;

wherein, in each case, any aryl moiety as well as the benzo ring A is unsubstituted or substituted by one or more substituents selected from the group consisting of halogen, nitro, lower alkyl, phenyl, hydroxy, lower alkoxy, hydroxy-lower alkoxy, lower alkoxycarbonyl-lower alkoxy and lower alkoxycarbonyl.

5. A compound according to claim 1 of formula (I) or a salt or a tautomer thereof in which

alk_1 and alk_2, independently of one another, represent a single bond or C_1-C_3-alkylene;

R_1 represents hydrogen;

R_2 represents

hydrogen, lower alkoxycarbonyl-oxy, amino, amino di-substituted by C_3-C_6-alkylene, a group selected from -NR_1-CO-R [R being lower alkyl, phenyl-lower alkyl, or phenyl and R_1 being hydrogen], -NR_1-CO-O-R [R being lower alkyl], -NR_1-SO_2-R [R being lower alkyl, phenyl-lower alkyl, phenyl, naphthyl, or quinolinyl and R_1 being hydrogen and phenyl being unsubstituted or substituted by lower alkyl, lower alkoxy, lower alkoxycarbonyl], -NR_1-SO_2-NR_1-R [R_1 being hydrogen, and the group-N(R)(R_1) being di-lower alkylamino] , -SO_2-R [R being lower alkyl], or -SO_2-NR_1-R, [R and R_1 being each lower alkyl];

X_1 represents C_3-C_6-cycloalkylene;

X_2 represents O and R_3 represents hydrogen; or

X_2 represents a group of the formula -N(R_4)-; and

R_3 represents hydrogen, lower alkyl, or phenyl which is unsubstituted or substituted by halogen, lower alkyl, or lower alkoxy;

R_4 represents hydrogen;

wherein the benzo ring A is unsubstituted or substituted by one or more substituents selected from the group consisting of halogen or lower alkoxy.

6. A compound according to claim 1 of formula (I) or a salt or a tautomer thereof in which

alk_1 and alk_2 independently of one another, represent a single bond or methylene;

R_1 is hydrogen;

X_1 is 1,4-cyclohexylene;

X_2 is -O-; R_2 is -NH-SO_2-R and R being naphthyl; and R_3 is hydrogen; or

X_2 is -NH-;

R_2 represents -NH-SO_2-R and R is phenyl substituted by halogen, espechially 4-chloro-phenyl, or naphthyl; and R_3 represents hydrogen, C_1-C_4-alkyl which substituted by C_1-C_4-alkyl-amino or by C_1-C_4-alkyl-amino-carbonyl or by C_5-C_5-alkylene; or

R_2 represents C_1-C_4-alkylamino, C_1-C_4-alkoxycarbonyl-amino, such as tert-butoxycarbonyl-amino, -NH-SO_2-R and R being phenyl substituted by C_1-C_4-alkyl, or C_1-C_4-alkyl, or is NH-SO_2-N(R_1)(R) and R_1 and R each being C_1-C_4-alkyl; and R_3 represents hydrogen, phenyl or phenyl which is substituted by halogen;
wherein the benzo ring A is unsubstituted or substituted by C_1-C_4-alkoxy.

7. A compound according to claim 1 of formula (I) or a salt thereof in which
alk_1 and alk_2 independently of one another, represent a single bond or methylene;

R_1 is hydrogen;

X_1 is 1,4-cyclohexylene;

X_2 is -O-; R_2 is -NH-SO_2-R and R being naphthyl; and R_3 is hydrogen; or

X_2 is -NH-;

R_2 represents -NH-SO_2-R and R is phenyl substituted by halogen, espechially 4-chloro-phenyl, or naphthyl; and R_3 represents hydrogen, C_1-C_4-alkyl which substituted by C_1-C_4-alkyl-amino or by C_1-C_4-alkyl-amino-carbonyl or by C_5-C_5-alkylene; or

R_2 represents C_1-C_4-alkylamino, C_1-C_4-alkoxycarbonyl-amino, -NH-SO_2-R and R being phenyl substituted by C_1-C_4-alkyl, or C_1-C_4-alkyl, or is NH-SO_2-N(R_1)(R) and R_1 and R each being C_1-C_4-alkyl; and R_3 represents hydrogen, phenyl or phenyl which is substituted by halogenl;
wherein the benzo ring A is unsubstituted or substituted by C_1-C_4-alkoxy.

8. A compound according to claim 1 of formula (I) or a pharmaceutically acceptable salt or a tautomer thereof consisting of the group selected from:
2-Cyclohexylamino-4-phenylamino-quinazoline;
cis/trans-2-(4-Piperidin-1-yl-cyclohexylamino)-4-phenylamino-quinazoline;
2-Cyclohexylamino-8-methoxy-4-phenylamino-quinazoline;
trans-2-(4-Acetoxy-cyclohexylamino)-4-phenylamino-quinazoline;
trans-Naphthalene-1-sulfonic acid [4-(4-phenylamino-quinazolin-2-ylamino)-cyclohexylmethyl]-amide;
trans-Naphthalene-1-sulfonic acid [4-(4-amino-quinazolin-2-yl-amino)-cyclohexylmethyl]-amide;

trans-[4-(4-Phenylamino-quinazoline-2-ylamino)-cyclohexylmethyl]-carbamic acid tert-butyl ester;
trans-4-(Aminomethyl-cyclohexylamino)-4-phenylamino-quinazoline;
rans-[4-(4-Phenylamino-quinazolin-2-ylamino)-cyclohexylmethyl]-methanesulfonamide;
trans-4-Methyl-N-[4-(4-phenylamino-quinazolin-2-ylamino)-cyclohexylmethyl]-benzene-sulfonamide;
trans-3-{{4-[(4-Amino-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-sulfamoyl}-4-methoxy-benzoic acid methyl ester;
trans-N-{4-[(4-Amino-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-benzenesulfonamide;
trans-Naphthalene-2-sulfonic acid {4-[(4-amino-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-amide;
trans-N-{4-[(4-Amino-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-methanesulfonamide;
trans-N-{4-[(4-Amino-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-phenylmethanesulfonamide;
trans-N-{4-[4-Amino-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-4-tert-butyl-benzenesulfonamide;
trans-N-{4-[4-Amino-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-2,4,6-trimethyl-benzenesulfonamide;
trans-N-{4-[4-Amino-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-4-methyl-benzenesulfonamide;
trans-N-{4-[4-Amino-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-benzamide;
trans-N-{4-[4-Amino-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-2-phenyl-acetamide;
trans-N,N-Dimethylamino sulfonic acid {4-[(4-amino-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-amide;
trans-Naphthalene-1-sulfonic acid {4-[(4-amino-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-amide;
trans-{Naphthalene-1-sulfonic acid 4-[(4-amino-8-methoxy-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-amide;
trans-Naphthalene-1-sulfonic acid {4-[(4-amino-6-bromo-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-amide;
trans-Naphthalene-2-sulfonic acid {4-[(4-amino-8-methoxy-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-amide;
trans-Naphthalene-1-sulfonic acid {4-[(4-oxo-3,4-dihydro-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-amide;

trans-Naphthalene-1-sulfonic acid {4-[(4-phenylamino-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-amide;

trans-Naphthalene-1-sulfonic acid {4-[(4-tert-butylamino-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-amide;

(R,S)-cis-Naphthalene-1-sulfonic acid {3-[(4-amino-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-amide;

trans-Naphthalene-1-sulfonic acid [4-(4-amino-quinazolin-2-ylamino)-cyclohexylethyl]-amide;

trans-Propane-2-sulfonic acid {4-[(4-amino-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-amide;

trans-N-{4-[(4-Amino-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-4-fluoro-benzenesulfonamide;

trans-N-{4-[(4-Amino-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-2-nitro-benzenesulfonamide;

trans-Piperidine-1-sulfonic acid {4-[(4-amino-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-amide;

trans-Morpholine-4-sulfonic acid {4-[(4-amino-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-amide;

trans-Naphthalene-1-sulfonic acid {4-{[4-(2-methoxy-ethylamino)-quinazolin-2-ylamino]-methyl}-cyclohexylmethyl}-amide;

trans-Naphthalene-2-sulfonic acid {4-{[4-(2-methoxy-ethylamino)-quinazolin-2-ylamino]-methyl}-cyclohexylmethyl}-amide;

trans-Naphthalene-1-sulfonic acid {4-{[4-(2-hydroxy-ethylamino)-quinazolin-2-ylamino]-methyl}-cyclohexylmethyl}-amide;

trans-Naphthalene-1-sulfonic acid {4-{[4-(2-hydroxy-1-hydroxymethyl-ethylamino)-quinazolin-2-ylamino]-methyl}-cyclohexylmethyl}-amide;

trans-Naphthalene-1-sulfonic acid {4-{[4-(3-methoxy-propylamino)-quinazolin-2-ylamino]-methyl}-cyclohexylmethyl}-amide;

trans-Naphthalene-1-sulfonic acid {4-{{4-[2-(2-hydroxy-ethoxy)-ethylamino]-quinazolin-2-ylamino}-methyl}-cyclohexylmethyl}-amide;

trans-Naphthalene-1-sulfonic acid {4-[(4-methylamino-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-amide;

trans-Naphthalene-1-sulfonic acid {4-[(4-dimethylamino-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-amide;

trans-Naphthalene-1-sulfonic acid {4-[(4-morpholin-4-yl-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-amide;

trans-Naphthalene-1-sulfonic acid {4-{[4-(4-methyl-piperazin-1-yl)-quinazolin-2-ylamino]-methyl}-cyclohexylmethyl}-amide;

trans-N,N-Dimethyl-2-{2-{{4-[(naphthalene-1-sulfonylamino)-methyl]-cyclohexylmethyl}-amino}-quinazolin-4-ylamino}-acetamide;

trans-N,N-Dimethyl-2-{2-{{4-[(naphthalene-2-sulfonylamino)-methyl]-cyclohexylmethyl}-amino}-quinazolin-4-ylamino}-acetamide;

trans-Naphthalene-1-sulfonic acid {4-{[4-(2-piperidin-1-yl-ethylamino)-quinazolin-2-ylamino]-methyl}-cyclohexylmethyl}-amide;

trans-Naphthalene-1-sulfonic acid {4-{[4-(2-morpholin-4-yl-ethylamino)-quinazolin-2-ylamino]-methyl}-cyclohexylmethyl}-amide;

trans-Naphthalene-1-sulfonic acid {4-{[4-(3-dimethylamino-propylamino)-quinazolin-2-ylamino]-methyl}-cyclohexylmethyl}-amide;

trans-Naphthalene-2-sulfonic acid {4-{[4-(2-dimethylamino-ethylamino)-quinazolin-2-ylamino]-methyl}-cyclohexylmethyl}-amide;

trans-Naphthalene-1-sulfonic acid {4-{[4-(2-dimethylamino-ethylamino)-quinazolin-2-ylamino]-methyl}-cyclohexylmethyl}-amide;

trans-Naphthalene-1-sulfonic acid {4-{[4-(2-diethylamino-ethylamino)-quinazolin-2-ylamino]-methyl}-cyclohexylmethyl}-amide;

trans-Naphthalene-1-sulfonic acid {4-{[4-(2-dimethylamino-1,1-dimethyl-ethylamino)-quinazolin-2-ylamino]-methyl}-cyclohexylmethyl}-amide;

trans-Naphthalene-1-sulfonic acid {4-{{4-[2-(4-methyl-piperazin-1-yl)-ethylamino]-quinazolin-2-ylamino}-methyl}-cyclohexylmethyl}-amide;

trans-Naphthalene-1-sulfonic acid {4-{[4-(3-diethylamino-propylamino)-quinazolin-2-ylamino]-methyl}-cyclohexylmethyl}-amide;

trans-Propane-2-sulfonic acid {4-{[4-(3-diethylamino-propylamino)-quinazolin-2-ylamino]-methyl}-cyclohexylmethyl}-amide;

trans-4-Methyl-piperazine-1-sulfonic acid {4-{[4-(3-diethylamino-propylamino)-quinazolin-2-ylamino]-methyl}-cyclohexylmethyl}-amide;

trans-N-{4-{[4-(3-Diethylamino-propylamino)-quinazolin-2-ylamino]-methyl}-cyclohexylmethyl}-C-phenylmethanesulfonamide;

trans-Naphthalene-2-sulfonic acid {4-{[4-(3-dimethylamino-propylamino)-quinazolin-2-ylamino]-methyl}-cyclohexylmethyl}-amide;

trans-N-{4-{[4-(3-Dimethylamino-propylamino)-quinazolin-2-ylamino]-methyl}-cyclohexylmethyl}-4-fluoro-benzenesulfonamide;

trans-N(4)-(3-Dimethylamino-propyl)-N(2)-{4-[(2-methoxy-benzylamino)-methyl]-cyclohexylmethyl}-quinazoline-2,4-diamine;

trans-{2-{2-{{4-[(Naphthalene-1-sulfonylamino)-methyl]-cyclohexylmethyl}-amino}quinazolin-4-ylamino}-ethyl}-carbamic acid tert-butyl ester;

trans-Naphthalene-1-sulfonic acid {4-{[4-(2-amino-ethylamino)-quinazolin-2-ylamino]-methyl}-cyclohexylmethyl}-amide;

trans-4-{2-{2-{{4-[(Naphthalene-1-sulfonylamino)-methyl]-cyclohexylmethyl}-amino}-quinazolin-4-ylamino}-ethyl}-piperazine-1-carboxylic acid *tert*-butyl ester;

trans-Naphthalene-1-sulfonic acid {4-{[4-(2-piperazin-1-yl-ethylamino)-quinazolin-2-ylamino]-methyl}-cyclohexylmethyl}-amide;

trans-Naphthalene-1-sulfonic acid {4-{[4-[(2-dimethylamino-ethyl)-methyl-amino]-quinazolin-2-ylamino]-methyl}-cyclohexylmethyl}-amide;

trans-Naphthalene-1-sulfonic acid {4-{[4-amino-quinazolin-2-yl]-methyl-amino}-methyl}-cyclohexylmethyl}-amide;

trans-Naphthalene-1-sulfonic acid {4-[(4-amino-6-fluoro-quinazolin-2-yl-amino)-methyl]-cyclohexylmethyl}-amide;

trans-Naphthalene-1-sulfonic acid {4-[(4-amino-6-methoxy-quinazolin-2-yl-amino)-methyl]-cyclohexylmethyl}-amide;

trans-Naphthalene-1-sulfonic acid {4-[(4-amino-5-methoxy-quinazolin-2-yl-amino)-methyl]-cyclohexylmethyl}-amide;

trans-Naphthalene-1-sulfonic acid {4-{[4-(2-dimethylamino-ethylamino)-8-methoxy-quinazolin-2-ylamino]-methyl}-cyclohexylmethyl}-amide;

trans-Naphthalene-1-sulfonic acid {4-{[4-(2-diethylamino-ethylamino)-8-methoxy-quinazolin-2-ylamino]-methyl}-cyclohexylmethyl}-amide;

trans-Naphthalene-1-sulfonic acid {4-{[4-(3-diethylamino-propylamino)-8-methoxy-quinazolin-2-ylamino]-methyl}-cyclohexylmethyl}-amide;

trans-Naphthalene-1-sulfonic acid {4-[4-amino-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-methyl-amide;

trans-Naphthalene-1-sulfonic acid methyl-{4-[4-phenylamino-quinazolin-2-ylamino)-methyl]-cyclohexylmethyl}-amide;

trans-Naphthalene-1-sulfonic acid {4-[1-(4-amino-quinazolin-2-ylamino)-1-methyl-ethyl]cyclohexylmethyl}-amide;

trans-Naphthalene-1-sulfonic acid {4-[1-methyl-1-(4-phenylamino-quinazolin-2-ylamino)-ethyl]-cyclohexylmethyl}-amide;

trans Naphthalene-2-sulfonic acid {4-[(4-amino-quinazolin-2-ylamino) methyl]-cyclohexyl}-amide;

trans Naphthalene-2-sulfonic acid (4-{[4-(4-chloro-phenylamino)-quinazolin-2-ylamino]-methyl}-cyclohexyl)-amide;

trans Naphthalene-1-sulfonic acid {4-[(4-amino-quinazolin-2-ylamino) methyl]-cyclohexyl}-amide;

trans-Naphthalene-2-sulfonic acid {4-[(4-amino-8-methoxy-quinazolin-2-ylamino) methyl]-cyclohexyl}-amide;

trans-Naphthalene-1-sulfonic acid (4-{[4-(4-chloro-phenylamino)-quinazolin-2-ylamino]-methyl}-cyclohexyl)-amide;

trans-Naphthalene-1-sulfonic acid {4-[(4-amino-8-methoxy-quinazolin-2-ylamino) methyl]-cyclohexyl}-amide;

trans Naphthalene-2-sulfonic acid (4-{[4-(2-dimethylamino-ethylamino)-quinazolin-2-ylamino]-methyl}-cyclohexyl)-amide;

trans Naphthalene-1-sulfonic acid (4-{[4-(2-dimethylamino-ethylamino)-quinazolin-2-ylamino]-methyl}-cyclohexyl)-amide;

trans-N-{4-[(4-Phenylamino-quinazolin-2-ylamino)]-cyclohexylmethyl}-(N,N-dimethylamino)-sulfonamide;

trans-N-(4-{[4-(4-Chloro-phenyl)amino]-quinazolin-2-ylamino}-cyclohexylmethyl)-(N,N-dimethylamino)-sulfonamide;

trans-N-(4-{[4-(4-Fluoro-phenyl)amino]-8-methoxy-quinazolin-2-ylamino}-cyclohexylmethyl)-(N,N-dimethylamino)-sulfonamide;

trans-N-{4-[4-(Cyclopropylmethylamino)-quinazolin-2-ylamino}-cyclohexylmethyl)-methanesulfonamide;

trans-{4-[4-(4-Chloro-phenylamino)-quinazolin-2-ylamino]-cyclohexylmethyl}-carbamic acid *tert*-butyl ester;

trans-{4-[4-(Cyclopropylamino)-8-methoxy-quinazolin-2-ylamino]-cyclohexylmethyl}-carbamic acid *tert*-butyl ester;

trans-{4-[4-(4-Chloro-phenylamino)-quinazolin-2-ylamino]-cyclohexylmethyl}-acetamide;

trans-{4-[4-(4-Chloro-phenylamino)-quinazolin-2-ylamino]-cyclohexylmethyl}-benzamide;

trans-{4-[4-(4-Chloro-phenylamino)-quinazolin-2-ylamino]-cyclohexylmethyl}-2-methoxy-benzamide;

N-*trans*-{4-[4-(Cyclopropylmethylamino)-quinazolin-2-ylamino]-cyclohexylmethyl}-2-methoxy-benzamide;

trans-4-(4-Chloro-phenylamino)-2-(4-methylaminomethyl-cyclohexyl)-quinazoline-2,4-diamine;

trans-{4-[4-(4-Chloro-phenylamino)-quinazolin-2-ylamino]-cyclohexylmethyl}-N-methyl-acetamide;

trans-{4-[4-(4-Chloro-phenylamino)-quinazolin-2-ylamino]-cyclohexylmethyl}-N-methyl-benzamide;

trans-2-Methoxy-[4-(4-phenylamino-quinazolin-2-ylamino)-cyclohexylmethyl]-acetamide;

trans-2-Methoxy-[4-(8-methoxy-4-phenylamino-quinazolin-2-ylamino)-cyclohexylmethyl]-acetamide;

trans-[4-(8-methoxy-4-phenylamino-quinazolin-2-ylamino)-cyclohexylmethyl]-carbamic acid *tert*-butyl ester;

trans-[4-(8-methoxy-4-phenylamino-quinazolin-2-ylamino)-cyclohexylmethyl]-methanesulfonamide;

trans-[4-(8-methoxy-4-phenylamino-quinazolin-2-ylamino)-cyclohexylmethyl]-(N,N-dimethylamino)-sulfonamide;

trans-4-(Cyclopropylmethyl)-2-(4-piperidin-1-ylmethyl-cyclohexyl)-quinazoline-2,4-diamine;

4-(3-Chloro-phenyl)-2-cyclohexyl-quinazoline-2,4-diamine; 4-(3-Chloro-phenyl)-2-cyclohexyl-quinazoline-2,4-diamine;

2-(N-Methyl-cyclohexylamino)-4-phenylamino-quinazoline;

2-(N-Methyl-cyclohexylamino)-8-hydroxy-4-phenylamino-quinazoline;

2-(N-Methyl-cyclohexylamino)-8-methoxy-4-phenylamino-quinazoline;

2-(N-Methyl-cyclohexylamino)-8-(methoxycarbonyl-methoxy)-4-phenylamino-quinazoline;

2-(N-Ethyl-cyclohexylamino)-8-hydroxy-4-(4-chloro-phenylamino)-quinazoline;

trans-2-(4-Benzoyloxy-cyclohexylamino)-4-phenylamino-quinazoline;

trans-2-(4-Acetoxy-cyclohexylamino)-4-(4-methoxy-phenylamino)-quinazoline;

N(2)-(*trans*-4-Dimethylamino-cyclohexylmethyl)-N(4)-methyl-6-*p*-tolyl-quinazoline-2,4-diamine;
1-{*trans*-4-[(4-Methylamino-6-*p*-tolyl-quinazolin-2ylamino)-methyl]-cyclohexyl}-pentan-1-ol;
1-{*trans*-4-[(4-Methylamino-6-*p*-tolyl-quinazolin-2ylamino)-methyl]-cyclohexyl}-pentan-1-one;
{*trans*-4-[(4-Methylamino-6-*p*-tolyl-quinazolin-2ylamino)-methyl]-cyclohexyl}-phenyl-methanol;
1-{*trans*-4-[(4-Methylamino-6-*p*-tolyl-quinazolin-2ylamino)-methyl]-cyclohexyl}-2-phenyl-ethanone;
N(2)-(*trans*-4-Ethanesulfonylmethyl-cyclohexylmethyl)-N(4)-methyl-6-*p*-tolyl-quinazoline-2,4-diamine;
N(2)-(*trans*-4-Benzenesulfonylmethyl-cyclohexylmethyl)-N(4)-methyl-6-*p*-tolyl-quinazoline-2,4-diamine;
1-(*trans*-4-{[4-(3-Diethylamino-propylamino)-6,8-dimethyl-quinazolin-2ylamino]-methyl}-cyclohexyl)-pentan-1-ol;
1-(*trans*-4-{[4-(3-Diethylamino-propylamino)-6,8-dimethyl-quinazolin-2ylamino]-methyl}-cyclohexyl)-pentan-1-one;
1-(*trans*-4-{[4-(3-Diethylamino-propylamino)-6,8-dimethyl-quinazolin-2ylamino]-methyl}-cyclohexyl)-2-phenyl-ethanone; and
(*trans*-4-{[4-(3-Diethylamino-propylamino)-6,8-dimethyl-quinazolin-2ylamino]-methyl}-cyclohexyl)-phenyl-methanone; or, in each case, a salt thereof.

9. Use of a compound of formula (I) or a pharmaceutically accetable salt thereof or a tautomer thereof according to claim 1 for the manufacture of a pharmaceutical composition for the prophylaxis and treatment of diseases or disorders associated with NPY Y5 receptor subtype.

10. A method of treatment of disorders and diseases associated with NPY receptor subtype Y5 comprising administering to a warm-blooded animal, including man, in need of such treatment a therapeutically effective amount of a compound of formula (I) or a pharmaceutically acceptable salt or a tautomer thereof according to claim 1.

11. A pharmaceutical composition for the treatment of diseases or disorders associated with NPY Y5 receptor subtype comprising a therapeutically effective amount of a compound

of formula (I) or a pharmaceutically acceptable salt or a tautomer thereof according to claim 1.

12. A pharmaceutical composition according to claim 11 for the treatment of disorders or disease states caused by eating disorders, of obesity, bulimia nervosa, diabetes, dyspilipidimia, hypertension, memory loss, epileptic seizures, migraine, sleep disturbance, pain, sexual/reproductive disorders, depression, anxiety, cerebral hemorrhage, shock, congestive heart failure, nasal congestion or diarrhea.